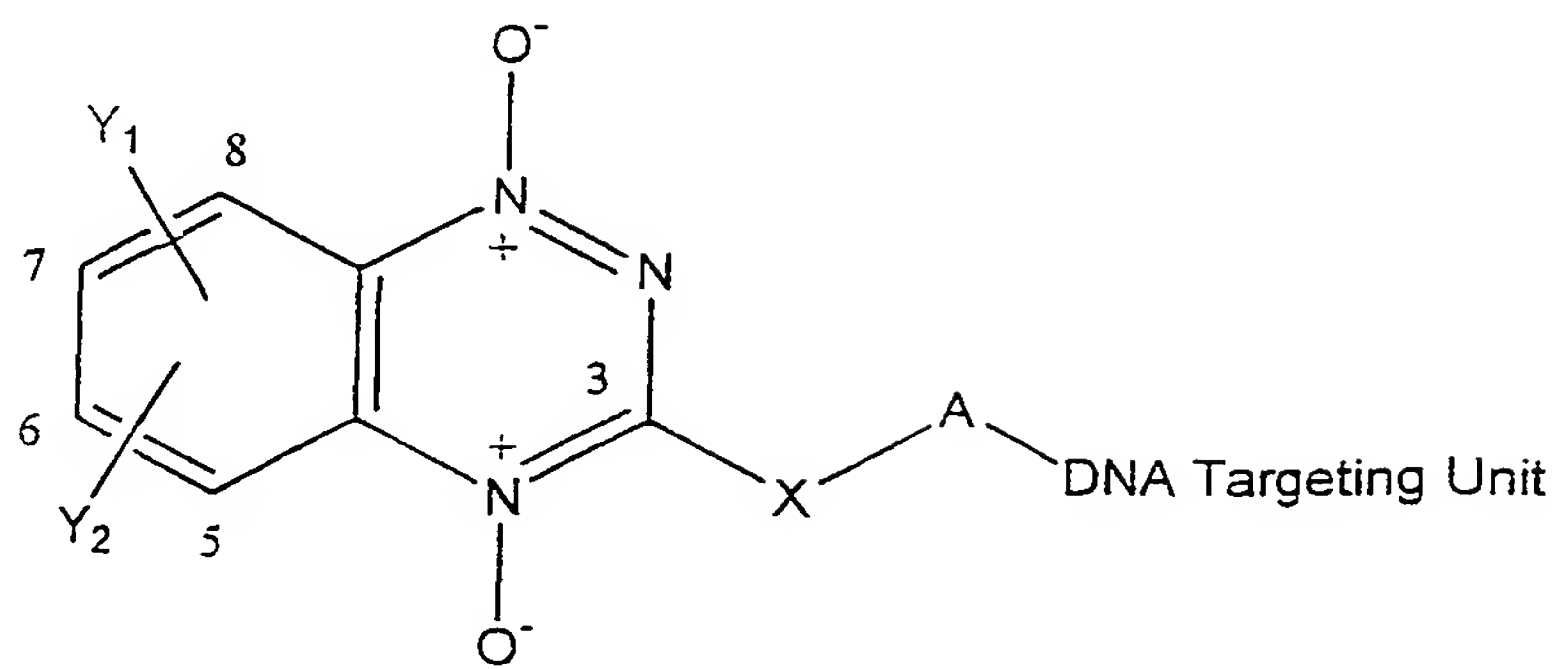


What we claim is:

1. A compound of Formula I,



wherein

Y_1 and Y_2 at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR , NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

wherein each R is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and wherein the said optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 ;

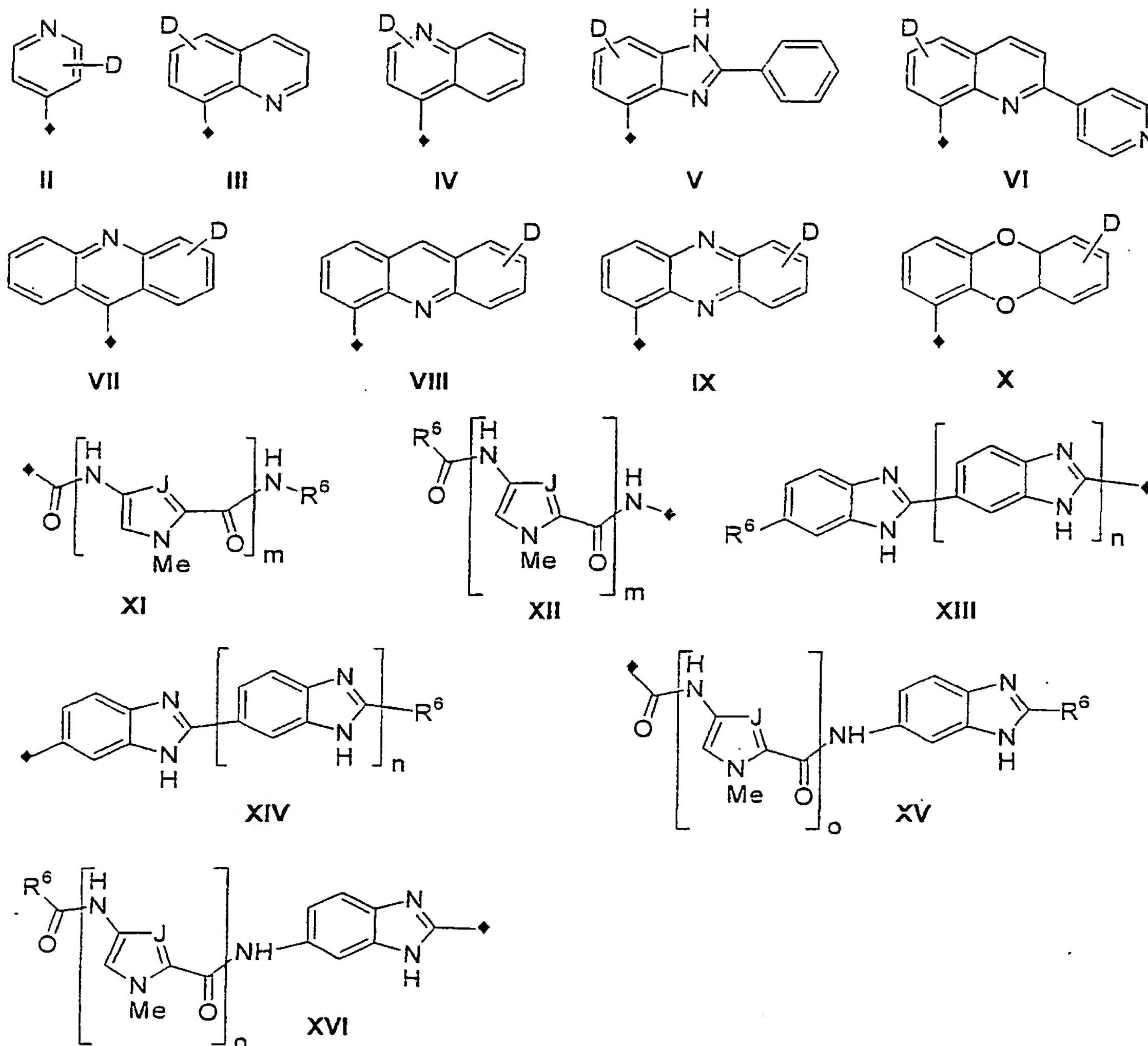
R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R^1 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^2 , NR^2_2 or $\text{N}(\text{OH})\text{R}^2$ wherein each R^2 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH, and

wherein X is selected from NH, NMe, CH₂, SO, SO₂, or O;

A is an optionally substituted C₁₋₁₂ alkyl group wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR³, NR³₂, or N(OH)R³ wherein each R³ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the optionally substituted C₁₋₁₂ alkyl chain is optionally interrupted or extended by one or more heteroatom containing linkage moieties selected from O, NH, NR⁴, CONH, CONR⁴, NHCO, NR⁴CO, where each R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the DNA-targeting unit is any moiety of a molecular weight below 700 Daltons that has an association constant (K) for binding to double-stranded random-sequence DNA of $>10^3 \text{ M}^{-1}$ at an ionic strength of 0.01 M at 20 °C, or a pharmacologically acceptable salt thereof.

2. The compound of Formula I as claimed in claim 1 wherein the DNA-targeting unit is selected from one of formulae II- XVI,



wherein in structures **XI-XVI** R⁶ is independently selected from an optionally substituted C₁₋₆ alicyclic or an optionally substituted C₃₋₆ cyclic alkyl group, and
 5 wherein the optional substituents are each independently selected from; halo, OH, OR⁷, NO₂, NH₂, NHR⁷, NR⁷R⁷, SR⁷, imidazolyl, R⁷-piperazinyl, morpholino, SO₂R⁷, CF₃, CN, CO₂H, CO₂R⁷, CHO, COR⁷, CONH₂, CONHR⁷, CONR⁷R⁷;
 R⁶ can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents
 10 are each independently selected from; halo, OH, OR⁷, NH₂, NHR⁷, NR⁷R⁷, SH, SR⁷, imidazolyl, R⁷-piperazinyl, morpholino, SO₂R⁷, CF₃, CN, CO₂H, CO₂R⁷, CHO, COR⁷, CONH₂, CONHR⁷, CONR⁷R⁷, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O,

N or S;

wherein each R^7 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR^8 , NH_2 , NHR^8 , NR^8_2 or $N(OH)R^8$ wherein each R^8 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH;

wherein D represents up to four of the following groups as substituents at any available ring carbon position: H, R^9 , hydroxy, alkoxy, halogen, NO_2 , NH_2 , NHR^9 , NR^9_2 , SH, SR^9 , SO_2R^9 , CF_3 , CN, CO_2H , CO_2R^9 , CHO, COR^9 , $CONH_2$, $CONHR^9$ or $CONR^9R^9$, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino, wherein each R^9 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR^{10} , NH_2 , NHR^{10} , NR^{10}_2 or $N(OH)R^{10}$ wherein each R^{10} is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH; and wherein any available ring carbon position of formulae II - XVI is optionally replaced by -N- when the valency and configuration of the formula allows, the point of attachment of formulae II- XVI to the A group defined above is represented by \blacklozenge ; and

wherein in formulae XI, XII, , m is selected from 2, 3 or 4, and

wherein in formulae XI, XII, XV and XVI, J is selected from CH or N; and wherein in formulae XIII and XIV n is selected from 0, 1 or 2; and wherein in formulae XV and XVI o is selected from 1 and 2.

3. The compound of Formula I as claimed in claim 2 wherein the DNA targeting unit is selected from one of formulae IV, V, VI, VII, VIII, or IX.

4. The compound of Formula I as claimed in claim 2 or claim 3 wherein D of the DNA targeting unit of Formulae II - X is H or Me.

5. The compound of Formula I as claimed in any one of claims 1 to 4 wherein X is NH or CH_2 .

6. The compound of Formula I as claimed in any one of claims 1 to 5 wherein Y_1

and Y_2 each represent H.

7. The compound of Formula I as claimed in any one of claims 1 to 5 wherein Y_1 represents OMe.

5

8. The compound of Formula I as claimed in any one of claims 1 to 7 wherein A is selected from $-(CH_2)_6NH-$, $-(CH_2)_3NH(CH_2)_3NHCO-$, $-(CH_2)_3NMe(CH_2)_3NHCO-$, $-(CH_2)_3NH-$, $-(CH_2)_2NH(CH_2)_2NHCO-$ or $-(CH_2)_2NMe(CH_2)_2NHCO-$.

10

9. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_6NH-$, the DNA targeting unit represents formula VII and D is H.

15

10. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_3NH(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.

20

11. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_2NH(CH_2)_2NHCO-$, the DNA targeting unit represents formula VIII and D is H.

25

12. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.

30

13. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula IV and D is H.

14. The compound of Formula I as claimed in claim 2 wherein X is $NH-$, Y_1 is H, Y_2 is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VI and D is H.

15. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is Me.
- 5 16. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula IX and D is Me.
- 10 17. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is 7-MeOCH₂CH₂O-, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.
- 15 18. The compound of Formula I as claimed in claim 2 wherein X is CH₂-, Y₁ is H, Y₂ is H, A is $-(CH_2)_2NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.
- 20 19. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is $-(CH_2)_2NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula XI and D is H.
- 25 20. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is 7-Me, Y₂ is H, A is $-(CH_2)_3NMeH(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.
- 30 21. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is 7-Me, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VI and D is H.
22. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is 6-Me, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H.
23. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is 6-Me, Y₂ is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents

formula VI and D is H.

24. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NMe(CH₂)₂NHCO-, the DNA targeting unit represents
5 formula VIII and D is H.

25. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NMe(CH₂)₂NHCO-, the DNA targeting unit represents
10 formula VI and D is H.

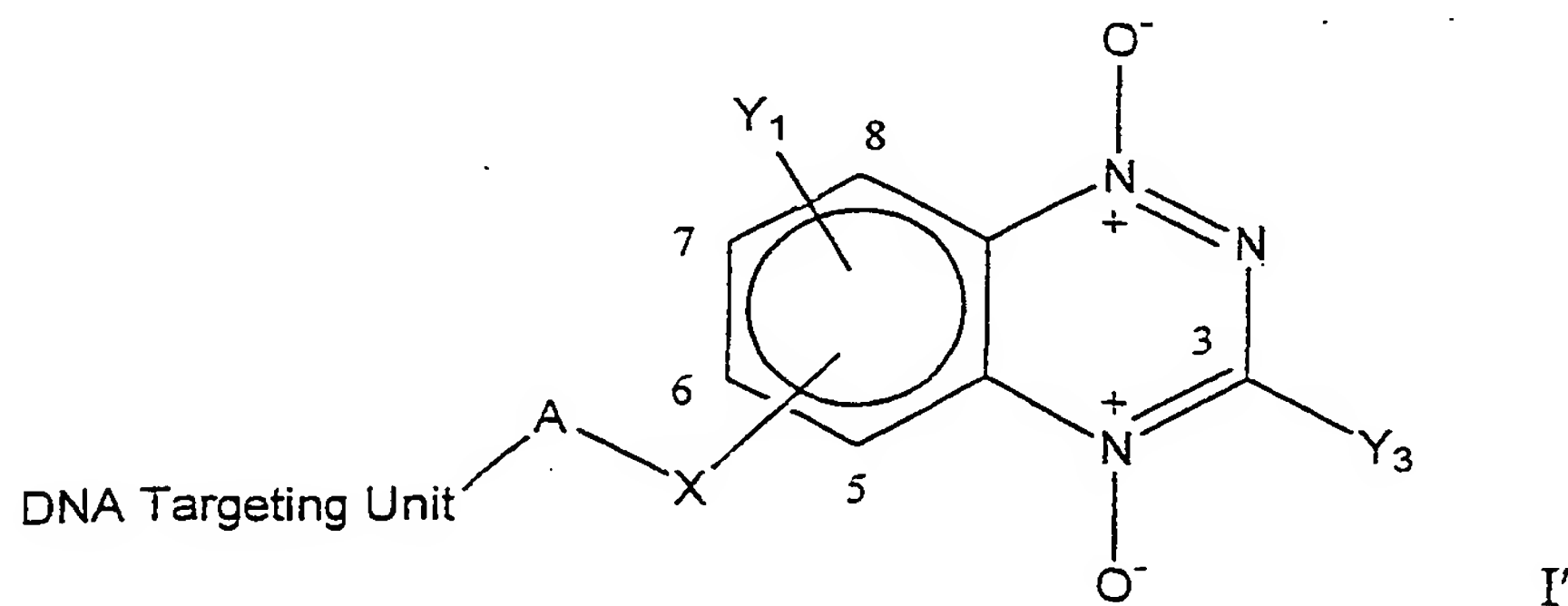
26. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NMe(CH₂)₂NHCO-, the DNA targeting unit represents
15 formula XI and D is Me.

27. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NMe(CH₂)₂NHCO-, the DNA targeting unit represents
20 formula VIII and D is Me.

28. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NH(CH₂)₂NHCO-, the DNA targeting unit represents formula
25 VI and D is H.

29. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y₁ is H, Y₂ is H, A is -(CH₂)₂NH(CH₂)₂NHCO-, the DNA targeting unit represents formula
25 VIII and D is Me.

30. A compound of Formula I',



wherein

Y_1 represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR, NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

Y_3 is selected from the following groups halo, H, R, OR, NH_2 , NHR, NR_2 , SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

wherein each R of groups Y_1 and Y_3 is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

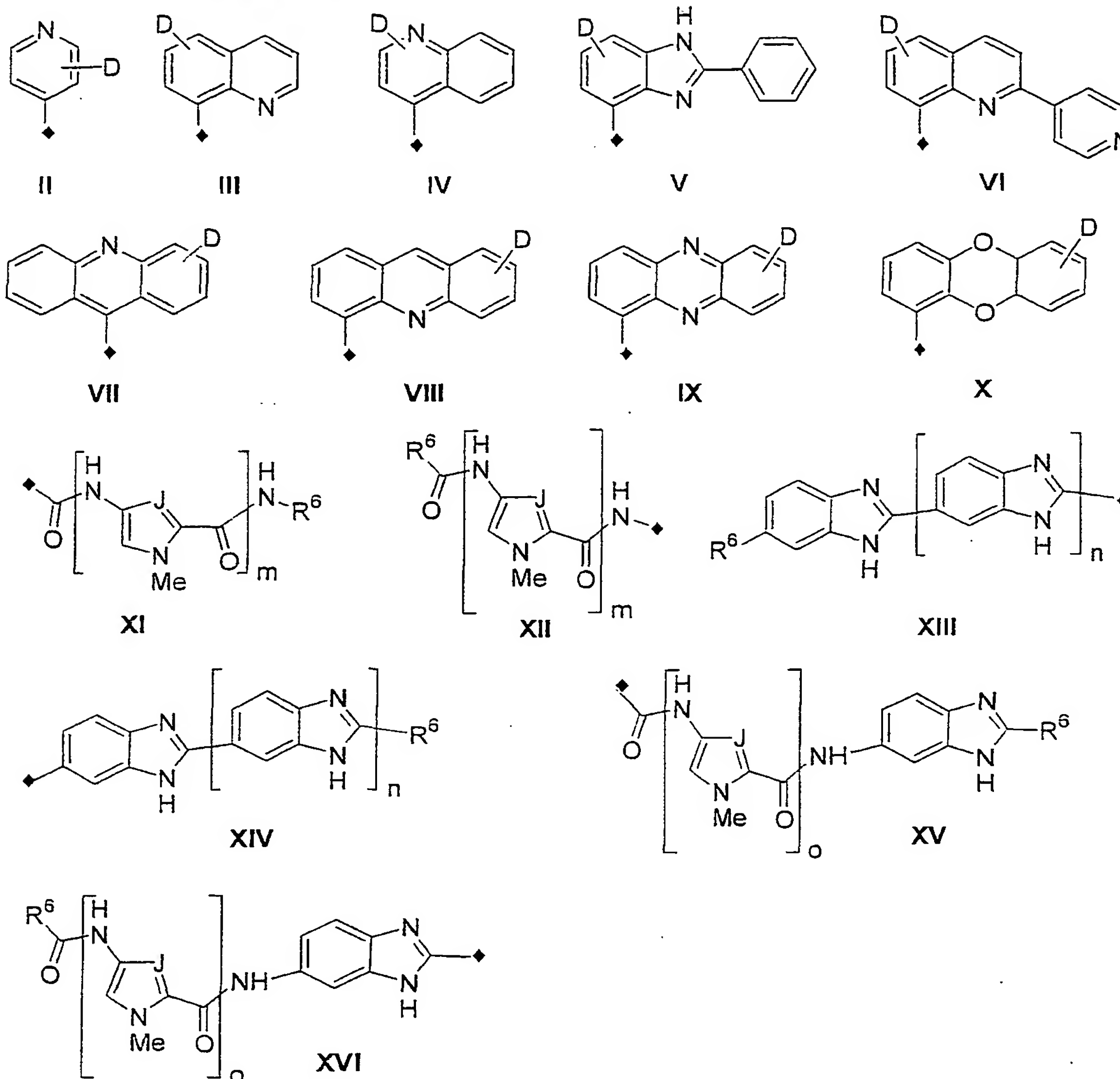
wherein each R^1 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^2 , NR^2_2 or $\text{N}(\text{OH})\text{R}^2$ wherein each R^2 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH, and wherein X represents NH, NMe, CH_2 , SO, SO_2 , or O;

wherein A represents an optionally substituted C_{1-12} alkyl group wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^3 , NR^3_2 or $\text{N}(\text{OH})\text{R}^3$ wherein each R^3 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH; and wherein the optionally substituted C_{2-12} alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR^4 , CONH, CONR^4 , NHCO, NR^4CO , wherein each

R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and

wherein the DNA-targeting unit is any moiety of a molecular weight below 700 Daltons that has an association constant (K) for binding to double-stranded random-sequence DNA of $>10^3 \text{ M}^{-1}$ at an ionic strength of 0.01 M at 20 °C, or a pharmacologically acceptable salt thereof.

31. The compound of Formula I' as claimed in claim 30 wherein the DNA-targeting unit is selected from one of formulae II- XVI,



wherein in structures **XI** - **XVI** R⁶ is independently selected from an optionally substituted C₁₋₆ alicyclic or an optionally substituted C₃₋₆ cyclic alkyl group, and

5 wherein the optional substituents are each independently selected from; halo, OH, OR⁷, NO₂, NH₂, NHR⁷, NR⁷R⁷, SR⁷, imidazolyl, R⁷-piperazinyl, morpholino, SO₂R⁷, CF₃, CN, CO₂H, CO₂R⁷, CHO, COR⁷, CONH₂, CONHR⁷, CONR⁷R⁷;

R⁶ can also represent an optionally substituted aryl or an optionally substituted

heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents

10 are each independently selected from; halo, OH, OR⁷, NH₂, NHR⁷, NR⁷R⁷, SH, SR⁷, imidazolyl, R⁷-piperazinyl, morpholino, SO₂R⁷, CF₃, CN, CO₂H, CO₂R⁷, CHO, COR⁷, CONH₂, CONHR⁷, CONR⁷R⁷, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N

or S;

wherein each R^7 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR^8 , NH_2 , NHR^8 , NR^8_2 or $N(OH)R^8$

5 wherein each R^8 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH;

D represents up to four of the following groups as substituents at any available ring carbon position; H, R^9 , hydroxy, alkoxy, halogen, NO_2 , NH_2 , NHR^9 , NR^9_2 , SH, SR^9 , SO_2R^9 , CF_3 , CN, CO_2H , CO_2R^9 , CHO, COR^9 , $CONH_2$, $CONHR^9$ or $CONR^9R^9$,
10 cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino, wherein each R^9 independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR^{10} , NH_2 , NHR^{10} , NR^{10}_2 or $N(OH)R^{10}$ wherein each R^{10} is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 ,
15 CN, CO_2H or SH; and wherein any available ring carbon position of formulae II- XVI can also be optionally replaced by -N- when the valency and configuration of the formula allows, the point of attachment of formulae II- XVI to the A group defined above is represented by \blacklozenge ; and

wherein in formulae XI and XII, m is selected from 2, 3 or 4, and

20 wherein in formulae XI, XII, XV or XVI J is selected from CH or N; and

wherein in formulae XIII and XIV n is selected from 0, 1 or 2, and

wherein in formulae XV and XVI o is selected from 1 or 2.

25 32. The compound of Formula I' as claimed in claim 31 wherein the DNA targeting unit is selected from one of formulae III - IX.

33. The compound of Formula I' as claimed in claim 31 or claim 32 wherein D of the DNA targeting unit of Formulae II - X is H or Me.

30 34. The compound of Formula I' as claimed in any one of claims 30 to 33 wherein X is O, NH or CH_2 .

35. The compound of Formula I' as claimed in any one of claims 30 to 34 wherein

Y_1 represents H.

36. The compound of Formula I' as claimed in any one of claims 30 to 35 wherein A is selected from $-(CH_2)_6NH-$, $-(CH_2)_3NH(CH_2)_3NHCO-$, $-(CH_2)_3NMe(CH_2)_3NHCO-$, $-(CH_2)_3NH-$, $-(CH_2)_2NH(CH_2)_2NHCO-$ or $-(CH_2)_2NMe(CH_2)_2NHCO-$.

37. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_3NH(CH_2)_3NHCO-$, the DNA targeting unit represents formula VI and D is H.

38. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VI and D is H;

39. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_2NH(CH_2)_2NHCO-$, the DNA targeting unit represents formula VI and D is H;

40. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y is H, A is $-(CH_2)_2NMe(CH_2)_2NHCO-$, the DNA targeting unit represents formula VI and D is H;

41. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_3NH(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H;

42. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_3NMe(CH_2)_3NHCO-$, the DNA targeting unit represents formula VIII and D is H;

43. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y_1 is H, A is $-(CH_2)_2NH(CH_2)_2NHCO-$, the DNA targeting unit represents formula VIII

and D is H;

44. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_2\text{NMe}(\text{CH}_2)_2\text{NHCO}-$, the DNA targeting unit represents formula VIII and D is H;

45. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_3\text{NH}(\text{CH}_2)_3\text{NHCO}-$, the DNA targeting unit represents formula VIII and D is Me;

46. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_3\text{NMe}(\text{CH}_2)_3\text{NHCO}-$, the DNA targeting unit represents formula VIII and D is Me;

47. The compound of Formula I' as claimed in claim 31 X is O-, Y₁ is H, A is $-(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{NHCO}-$, the DNA targeting unit represents formula VIII and D is Me;

48. The compound of Formula I' as claimed in claim 31 X is O-, Y₁ is H, A is $-(\text{CH}_2)_2\text{NMe}(\text{CH}_2)_2\text{NHCO}-$, the DNA targeting unit represents formula VIII and D is Me;

49. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_3\text{NH}(\text{CH}_2)_3\text{NHCO}-$, the DNA targeting unit represents formula IX and D is Me.

50. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_3\text{NMe}(\text{CH}_2)_3\text{NHCO}-$, the DNA targeting unit represents formula IX and D is Me;

51. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is $-(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{NHCO}-$, the DNA targeting unit represents formula IX and D is Me;

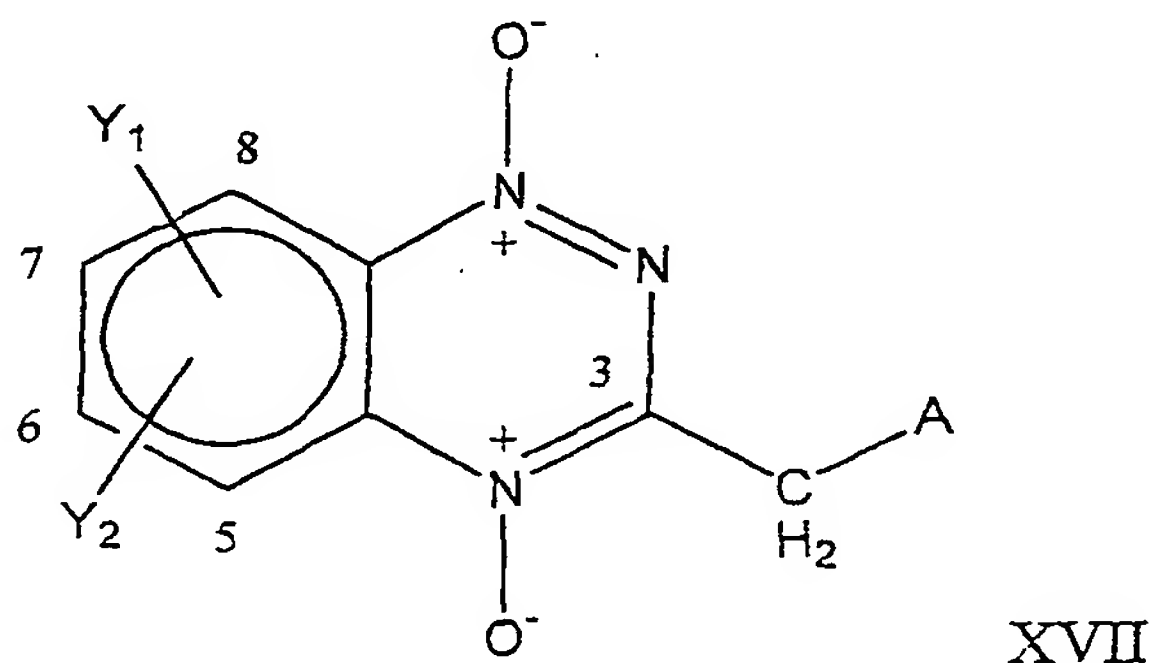
52. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y₁ is H, A is -(CH₂)₂NMe(CH₂)₂NHCO-, the DNA targeting unit represents formula XI and D is Me
53. The compounds of Formula I' as claimed in any one of claims 30 to 52, wherein Y₃ represents CH₃, -CH₂CH₃ or NHCH₂CH₂N(CH₃)₂.
54. A method of therapy for treating cancers including the step of administering a compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as defined in any one of claims 30 to 53 or a mixture thereof in a therapeutically effective amount to tumour cells in a subject.
55. The method of therapy according to claim 54 wherein the tumour cells are in a hypoxic environment.
56. The method of therapy according to claim 54 or claim 55 further including the step of administering radiotherapy to the tumor cells before, during or after the administration of the compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as claimed in any one of claims 30 to 53 or a mixture thereof to the tumour cells.
57. The method of therapy according to any one of claims 54 to 56 further including the step of administering one or more chemotherapeutic agents to the tumor cells before, during or after the administration of the compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as defined in any one of claims 30 to 53 or a mixture thereof to the tumour cells.
58. The method according to any one of claims 54 to 57 wherein the therapy can be administered alone or in combination with other chemotherapeutic agents or treatments, either simultaneously or sequentially dependent upon the condition to be treated.

59. The method according to claim 58 wherein the chemotherapeutic treatment is radiation therapy.

60. The method according to claim 59 wherein the chemotherapeutic agents are selected from one or more of :Cisplatin or other platinum-based derivatives, Temozolomide or other DNA methylating agents, Cyclophosphamide or other DNA alkylating agents, Doxorubicin, mitoxantrone, camptothecin or other topoisomerase inhibitors, Methotrexate, gemcitabine or other antimetabolites.

61. A pharmaceutical composition including a therapeutically effective amount of a compound of formula I as claimed in any one of claims 1 to 29 or a compound of formula I' as claimed in any one of claims 30 to 53 or a mixture thereof, a pharmaceutically acceptable excipient, adjuvant, carrier, buffer or stabiliser.

62. A method of making a compound of formula XVII



wherein

Y_1 and Y_2 at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR , NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

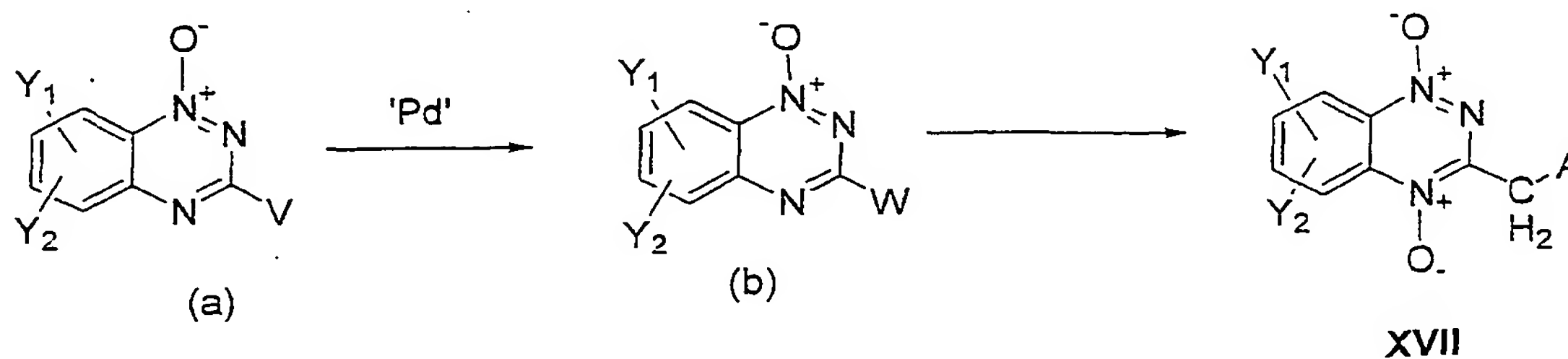
wherein each R is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR¹, NH₂, NHR¹, NR¹R¹, SH, SR¹, imidazolyl, R¹-piperazinyl, morpholino, SO₂R¹, CF₃, CN, CO₂H, CO₂R¹, CHO, COR¹, CONH₂, CONHR¹, CONR¹R¹, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R¹ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR², NR²₂ or N(OH)R² wherein each R² is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH, and

A represents an optionally substituted C₁₋₁₂ alkyl group wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR³, NR³₂, or N(OH)R³ wherein each R³ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the optionally substituted C₁₋₁₂ alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR⁴, CONH, CONR⁴, NHCO, NR⁴CO, where each R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; or a pharmacologically acceptable salt thereof,

including the step of coupling a compound (a) using a palladium reagent to form compound (b) which can then be converted into a compound of XVII as defined above;



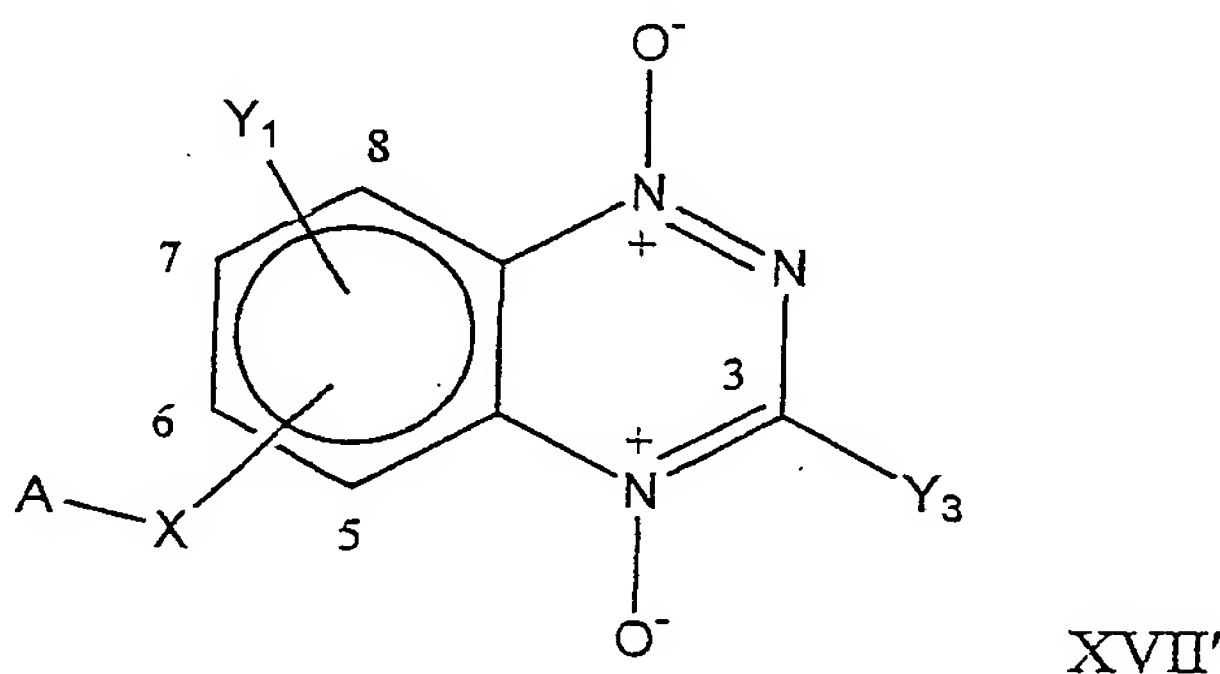
wherein in compound (a)

V is halogen selected from Cl, Br or I and Y₁, Y₂ are as defined above in this

claim;

and wherein in compound (b) Y_1 , Y_2 are as defined above in this claim, W is selected from an optionally substituted C_{1-12} alkyl, optionally substituted C_{2-12} alkenyl, and optionally substituted C_{2-12} alkynyl group, wherein the optional substituents is selected from halo, OH, OR^6 , NO_2 , NH_2 , NHR^6 , NR^6R^6 , SH, SR^6 , imidazolyl, R^6 -piperazinyl, morpholino, SO_2R^6 , CF_3 , CN, CO_2H , CO_2R^6 , CHO, COR^6 , $CONH_2$, $CONHR^6$, $CONR^6R^6$, wherein each R^6 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^7 , NR^7_2 or $N(OH)R^7$ wherein each R^7 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH.

63. A method of making a compound of formula XVII'



wherein Y_1 represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR , NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, $CONH_2$, $CONHR$ or $CONRR$, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino; Y_3 is selected from the following groups H, R, OR, NH_2 , NHR , NR_2 , SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, $CONH_2$, $CONHR$ or $CONRR$, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

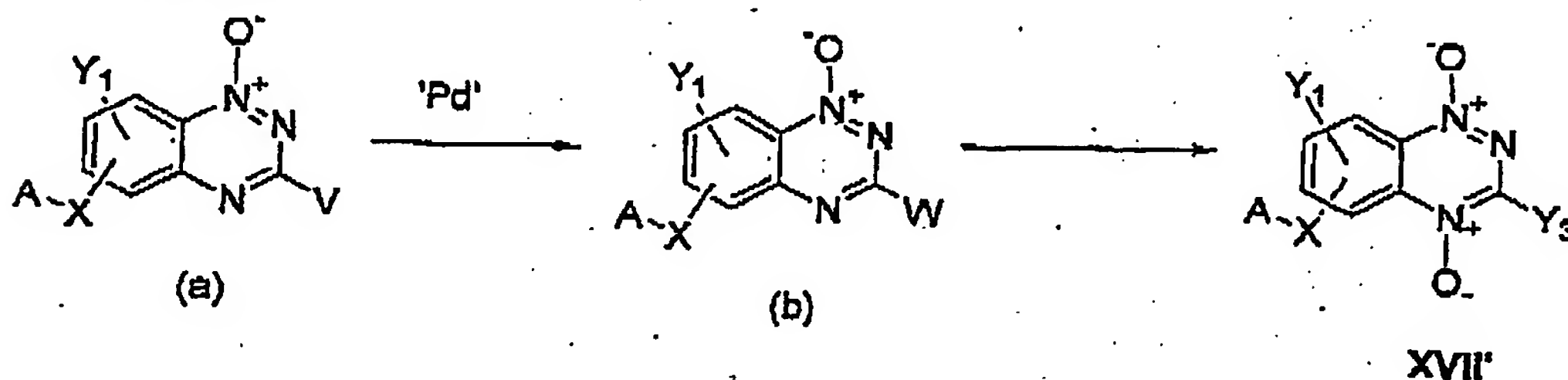
wherein each R of groups Y_1 and Y_3 is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , $CONH_2$, $CONHR^1$, $CONR^1R^1$;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR¹, NH₂, NHR¹, NR¹R¹, SH, SR¹, imidazolyl, R¹-piperazinyl, morpholino, SO₂R¹, CF₃, CN, CO₂H, CO₂R¹, CHO, COR¹, CONH₂, CONHR¹, CONR¹R¹, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R¹ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR², NR²₂ or N(OH)R² wherein each R² is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH, and wherein X represents NH, NMe, CH₂, SO, SO₂, or O;

A represents an optionally substituted C₁₋₁₂ alkyl group wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR³, NR³₂ or N(OH)R³ wherein each R³ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the optionally substituted C₁₋₁₂ alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR⁴, CONH, CONR⁴, NHCO, NR⁴CO, wherein each R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and or a pharmacologically acceptable salt thereof;

including the steps of coupling a compound (a) using a palladium reagent to form compound (b) which is then converted into a compound of XVII' as defined above in this claim;

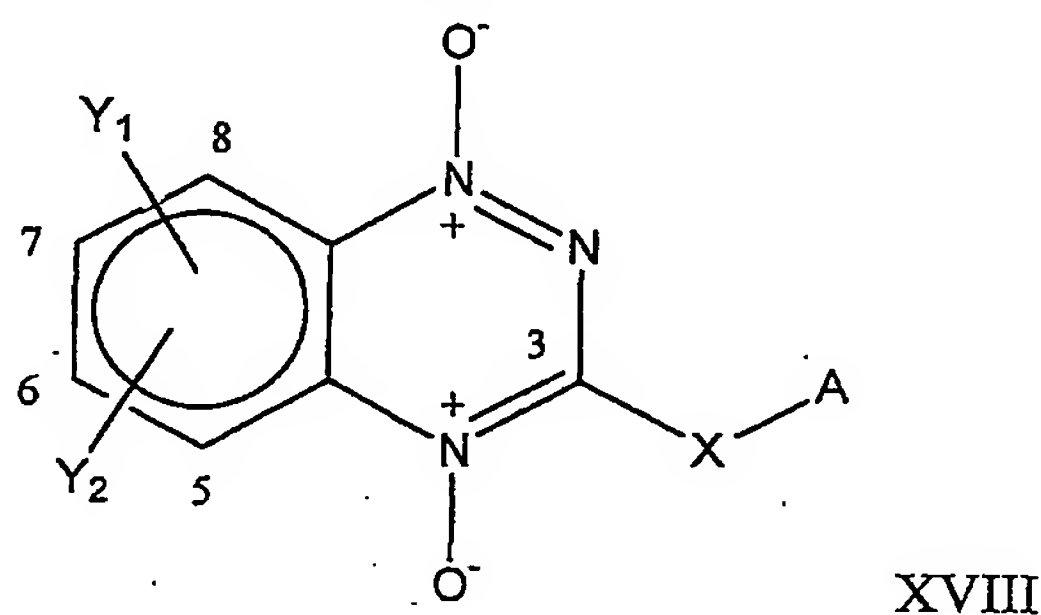


wherein in compound (a) V is halogen which is selected from Cl, Br or I; Y_1 , X and A is as defined above in this claim;

and wherein in compound (b) Y_1 , X and A are as defined above in this claim,

W is selected from an optionally substituted C_{1-12} alkyl, optionally substituted C_{2-12} alkenyl, and optionally substituted C_{2-12} alkynyl group, wherein the optional substituents is selected from halo, OH, OR^6 , NO_2 , NH_2 , NHR^6 , NR^6R^6 , SH, SR^6 , imidazolyl, R^6 -piperazinyl, morpholino, SO_2R^6 , CF_3 , CN, CO_2H , CO_2R^6 , CHO, COR^6 , $CONH_2$, $CONHR^6$, $CONR^6R^6$, wherein each R^6 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^7 , NR^7_2 or $N(OH)R^7$ wherein each R^7 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl, OH, NO_2 , NH_2 , CF_3 , CN, CO_2H or SH.

64. A compound of formula XVIII



wherein

Y_1 and Y_2 at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR , NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, $CONH_2$, $CONHR$ or $CONRR$, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino; wherein each R is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , $CONH_2$, $CONHR^1$, $CONR^1R^1$;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents

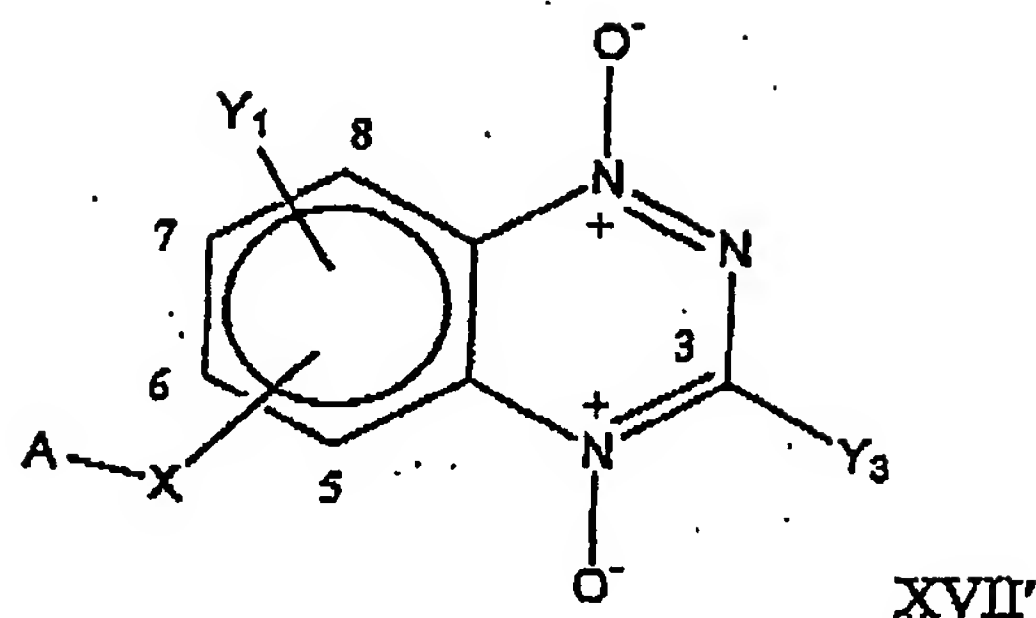
are each independently selected from; halo, OH, OR¹, NH₂, NHR¹, NR¹R¹, SH, SR¹, imidazolyl, R¹-piperazinyl, morpholino, SO₂R¹, CF₃, CN, CO₂H, CO₂R¹, CHO, COR¹, CONH₂, CONHR¹, CONR¹R¹, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O,
5 N or S;

wherein each R¹ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR², NR²₂ or N(OH)R² wherein each R² is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂,
10 NH₂, CF₃, CN, CO₂H or SH, and wherein X represents NH, NMe, CH₂, SO, SO₂, or O;

A represents an optionally substituted C₁₋₁₂ alkyl group wherein the optional substituents are each independently selected from OH, OR, NH₂, NHR³, NR³₂, or N(OH)R³ wherein each R³ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl,
15 OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the optionally substituted C₁₋₁₂ alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR⁴, CONH, CONR⁴, NHCO, NR⁴CO, wherein each R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each
20 independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; or a pharmacologically acceptable salt thereof, with the proviso that:

3-amino 6 or 7-decyl-1,2,4-benzotriazine 1,4 dioxide,
3-(3-N,N-diethylaminopropylamino)-1,2,4-benzotriazine 1,4 dioxide,
25 7-nitro-3-(2-N,N-diethylaminoethylamino)-1,2,4-benzotriazine 1,4 dioxide,
3-(2-methoxyethyl)-1,2,4-benzotriazine 1,4 dioxide,
3-amino 6 or 7-methoxy-1,2,4-benzotriazine 1,4 dioxide,
N methyl, 3-amino-1,2,4-benzotriazine 1,4 dioxide,
3-ethyl-1,2,4-benzotriazine 1,4 dioxide,
30 3-propyl-1,2,4-benzotriazine 1,4 dioxide and
3-methoxy, 1,2,4-benzotriazine 1,4 dioxide are excluded.

65. A compound of formula XVII'



5 wherein

Y_1 represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR, NO_2 , NH_2 , NHR, NR_2 , SH, SR, SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

10 Y_3 is selected from the following groups H, R, OR, NH_2 , NHR, NR_2 , SO_2R , CF_3 , CN, CO_2H , CO_2R , CHO, COR, CONH_2 , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino

wherein each R of groups Y_1 and Y_3 is independently selected from an optionally substituted C_{1-6} alicyclic or an optionally substituted C_{3-6} cyclic alkyl group, and

15 wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NO_2 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR^1 , NH_2 , NHR^1 , NR^1R^1 , SH, SR^1 , imidazolyl, R^1 -piperazinyl, morpholino, SO_2R^1 , CF_3 , CN, CO_2H , CO_2R^1 , CHO, COR^1 , CONH_2 , CONHR^1 , CONR^1R^1 , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

25 wherein each R^1 is independently selected from an optionally substituted C_{1-4} alkyl or an optionally substituted C_{2-4} alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH_2 , NHR^2 , NR^2_2 or $\text{N}(\text{OH})\text{R}^2$ wherein each R^2 is independently selected from C_{1-4} alkyl, C_{2-4} alkenyl,

OH, NO₂, NH₂, CF₃, CN, CO₂H or SH, and
wherein X represents NH, NMe, CH₂, SO, SO₂, or O;

A represents an optionally substituted C₁₋₁₂ alkyl group wherein the optional
substituents are each independently selected from OH, OR, NH₂, NHR³, NR³₂ or
5 N(OH)R³ wherein each R³ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl,
OH, NO₂, NH₂, CF₃, CN, CO₂H or SH; and wherein the optionally substituted C₁₋₁₂
alkyl chain is optionally interrupted by one or more heteroatom containing linkage
moieties selected from O, NH, NR⁴, CONH, CONR⁴, NHCO, NR⁴CO, wherein each
R⁴ is independently selected from an optionally substituted C₁₋₄ alkyl or an optionally
10 substituted C₂₋₄ alkenyl group and wherein the optional R⁴ substituents are each
independently selected from OH, OR, NH₂, NHR⁵, NR⁵₂ or N(OH)R⁵ wherein each
R⁵ is independently selected from C₁₋₄ alkyl, C₂₋₄ alkenyl, OH, NO₂, NH₂, CF₃, CN,
CO₂H or SH; and

wherein X represents NH, NMe, CH₂, SO, SO₂, or O;

15 or a pharmacologically acceptable salt thereof, with the proviso that:
3-amino 6 or 7-decyl-1,2,4-benzotriazine 1,4 dioxide,
1,2 propanediol 3-[(1,4 dioxide-1,2,4-benzotriazine-7-yl)oxy] are excluded.

20 66. A method of making a compound of Formula I defined above in any one of
claims 1 to 29 including the steps of

1 preparing a compound of Formula XVIII as defined above in claim 64;
and

2 coupling the compound of Formula XVIII with a DNA targeting agent
as defined in claim 2 to provide a compound of Formula I.

25 67. A method of making a compound of Formula I' defined in any one of claims
30 to 53 including the steps of

1 preparing a compound of Formula XVII' as defined above in claim 65; and

2 coupling the compound of Formula XVII' with a DNA targeting agent
30 as defined above in claim 31 to provide a compound of Formula I'.

AUCKLAND UNISERVICES LIMITED

35 By Its Attorneys
BALDWINS